SZABO SCANDIC

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- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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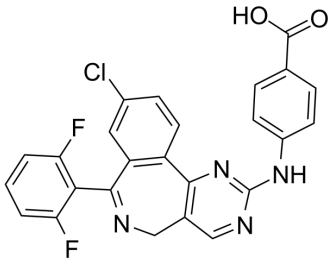
MLN8054

Cat. No.:	HY-10180
CAS No.:	869363-13-3
Molecular Formula:	$C_{25}H_{15}ClF_2N_4O_2$
Molecular Weight:	476.86
Target:	Aurora Kinase
Pathway:	Cell Cycle/DNA Damage; Epigenetics
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 1 year -20°C 6 months



SOLVENT & SOLUBILITY

In Vitro

DMSO : 20.83 mg/mL (43.68 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.0971 mL	10.4853 mL	20.9705 mL
	5 mM	0.4194 mL	2.0971 mL	4.1941 mL
	10 mM	0.2097 mL	1.0485 mL	2.0971 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (5.24 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (4.36 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.36 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

MLN8054 is a potent, selective and orally available aurora A kinase inhibitor with an IC_{50} of 4 nM.

IC_{50} & Target

Aurora A
4 nM (IC_{50})

In Vitro

MLN8054 is an ATP-competitive, reversible inhibitor of recombinant Aurora A kinase. MLN8054 is >40-fold more selective for Aurora A compared with the family member Aurora B. MLN8054 selectively inhibits Aurora A over Aurora B in cultured

	human tumor cells. MLN8054 treatment results in G2/M accumulation and spindle defects and inhibits proliferation in multiple cultured human tumor cells lines. MLN8054 effectively inhibits the growth of cells from diverse tissue origins with IC_{50} values ranging from 0.11 to 1.43 μM[1]. Treatment of human tumor cells grown in culture with MLN8054 shows a number of morphologic and biochemical changes associated with senescence[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	In the HCT-116 tumor-bearing mice, MLN8054 treatment inhibits tumor growth dose dependently. MLN8054 is generally well tolerated. MLN8054 also inhibits the growth of the PC-3 tumor xenograft in nude mice. MLN8054 Treatment Results in Inhibition of Aurora A, Accumulation of Mitotic Cells, and Apoptosis in vivo[1]. MLN8054 selectively inhibits Aurora A kinase activity when dosed at 30 mg/kg. At this dose in HCT116 tumor tissue, MLN8054 has been shown to inhibit Aurora A autophosphorylation, and induce an increase in the Aurora B substrate, pHisH3[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1]	MLN8054 is added to human tumor cells in 2-fold serial dilutions to achieve final concentrations ranging from 10 to 0.04 mM. MLN8054 at each dilution is added in triplicate with each replicate on a separate plate. Cells treated with DMSO (n=6 wells per plate; 0.2% final concentration) served as the untreated control. The cells are treated with MLN8054 for 96 h at 37°C in a humidified cell culture chamber. Cell viability in each cell line is measured by using the Cell Proliferation ELISA, BrdU colorimetric kit[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [1]	Mice: Nude mice bearing HCT-116 tumors are treated orally once per day for 21 consecutive days with either vehicle control or MLN8054 at doses of 3, 10, or 30 mg/kg. Tumor volumes are measured by using a vernier caliper and calculated[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Sci Transl Med. 2018 Jul 18;10(450):eaaq1093.
- Cancer Res. 2017 Sep 15;77(18):4785-4796.
- PLoS Biol. 2020 Jun 9;18(6):e3000288.
- EMBO Rep. (2021)e51847.
- Comput Struct Biotechnol J. 2019 Feb 8;17:352-361.

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REFERENCES

[1]. Manfredi MG, et al. Antitumor activity of MLN8054, an orally active small-molecule inhibitor of Aurora A kinase. Proc Natl Acad Sci U S A. 2007 Mar 6;104(10):4106-11.

[2]. Huck JJ, et al. MLN8054, an inhibitor of Aurora A kinase, induces senescence in human tumor cells both in vitro and in vivo. Mol Cancer Res. 2010 Mar;8(3):373-84.

Caution: Product has not been fully validated for medical applications. For research use only.

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